



Antimicrobial Lexitropsins Containing Amide, Amidine, and Alkene Linking Groups

Submitted by Jean-Jacques He... on Tue, 05/19/2015 - 23:25

Titre Antimicrobial Lexitropsins Containing Amide, Amidine, and Alkene Linking Groups

Type de publication Article de revue

Auteur Anthony, Nahoum G [1], Breen, David [2], Clarke, Joanna [3], Donoghue, Gavin [4], Drummond, Allan J [5], Ellis, Elizabeth M [6], Gemmell, Curtis G [7], Helesbeux, Jean-Jacques [8], Hunter, Iain S [9], Khalaf, Abedawn I [10], Mackay, Simon P [11], Parkinson, John A [12], Suckling, Colin J [13], Waigh, Roger D [14]

Pays Etats-Unis

Editeur American Chemical Society

Type Article scientifique dans une revue à comité de lecture

Année 2007

Langue Anglais

Date Jan-11-2007

Numéro 24

Pagination 6116-6125

Volume 50

Titre de la revue Journal of Medicinal Chemistry

ISSN 0022-2623

Résumé en anglais The synthesis and properties of 80 short minor groove binders related to distamycin and the thiazotropsins are described. The design of the compounds was principally predicated upon increased affinity arising from hydrophobic interactions between minor groove binders and DNA. The introduction of hydrophobic aromatic head groups, including quinolyl and benzoyl derivatives, and of alkenes as linkers led to several strongly active antibacterial compounds with MIC for *Staphylococcus aureus*, both methicillin-sensitive and -resistant strains, in the range of 0.1–5 µg mL⁻¹, which is comparable to many established antibacterial agents. Antifungal activity was also found in the range of 20–50 µg mL⁻¹ MIC against *Aspergillus niger* and *Candida albicans*, again comparable with established antifungal drugs. A quinoline derivative was found to protect mice against *S. aureus* infection for a period of up to six days after a single intraperitoneal dose of 40 mg kg⁻¹.

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DOI 10.1021/jm070831g [16]

Titre abrégé J. Med. Chem.

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- [16] <http://dx.doi.org/10.1021/jm070831g>

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